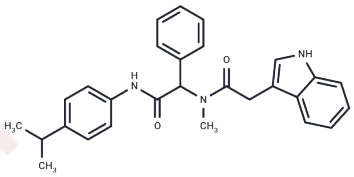
Data Sheet (Cat.No.T16516)

TargetMol

PG01

Chemical Properties



CAS No. : 853138-65-5

Formula: C28H29N3O2

Molecular Weight: 439.55

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PG01 is a potent CFTR Cl-channel potentiator, effective against ΔF508 (Ka 0.3 μM), and also against E193K, G970R and G551D (CFTR mutants), with Kd values of 0.22 μM, 0.45 μM and 1.94 μM, respectively.PG01 increases ΔF508-CFTR Cl- currents upon addition of forskolin. F508-CFTR Cl-current upon addition of Forskolin, correcting the gating defect of CFTR mutants.
Targets(IC50)	CFTR
In vitro	PG01 induces significant currents in cells expressing either G551D- or G1349D-CFTR. While PG01 alone does not activate ΔF508-CFTR, it notably enhances ΔF508-CFTR Cl- current in the presence of Forskolin (0.5 and 2 μM). At a concentration of 100 nM, PG01 markedly increases channel activity, evidenced by frequent channel openings. The compound's effectiveness in activating G551D-CFTR, with an apparent Kd of 1 μM, is roughly 100 times greater than that of genistein, and its potency in activating G1349D-CFTR is superior, requiring only 40 nM. These currents are blocked by CFTRinh-172 and absent in nontransfected cells, indicating specificity for CFTR modulation.[1]
In vivo	Microsome metabolism studies and rat pharmacokinetic analysis indicate that PG01 metabolizes significantly faster than SF-03. The pharmacokinetics of PG01 in rats were assessed through serial plasma concentration measurements following single bolus infusions (5 mg/kg), revealing that its pharmacokinetics adhere to a two-compartment model with elimination half-times of less than 5 minutes and 130 minutes, and a distribution volume of 4 L.[1]

Solubility Information

Solubility	DMSO: 30 mg/mL (68.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2751 mL	11.3753 mL	22.7505 mL
5 mM	0.455 mL	2.2751 mL	4.5501 mL
10 mM	0.2275 mL	1.1375 mL	2.2751 mL
50 mM	0.0455 mL	0.2275 mL	0.455 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pedemonte N, et al. Phenylglycine and sulfonamide correctors of defective delta F508 and G551D cystic fibrosis transmembrane conductance regulator chloride-channel gating. Mol Pharmacol. 2005 May;67(5):1797-807.
Caputo A, et al. Mutation-specific potency and efficacy of cystic fibrosis transmembrane conductance regulator chloride channel potentiators. J Pharmacol Exp Ther. 2009 Sep;330(3):783-91.